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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TETRACYCLIC ARYLSULFONYL INDOLES HAVING SEROTONIN RECEPTOR AFFINITY

(57) Abstract: The present invention relates to novel tetracyclic arylsulfonyl indoles according to General Formula (I), their derivatives, their analogues, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates, novel intermediates described herein and pharmaceutically acceptable compositions containing them. This invention particularly relates to novel tetracyclic arylsulfonyl indoles of the general formula (I), their derivatives, their analogues, their tautomeric forms, their stereoisomers, their polymorphs, their pharmaceutically acceptable salts, their pharmaceutically acceptable solvates, novel intermediates described herein and pharmaceutically acceptable compositions containing them. This invention also relates to process/es for preparing such compound/s of general formula (I), composition/s containing effective amount/s of such a compound and the use of such a compound/composition in therapy.

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INTERNATIONAL SEARCH REPORT

national Application No
PCT/IN 03/00222

A. CLASSIFICATION OF SUBJECT MATTER
 IPC 7 C07D513/04 A61K31/429 A61P25/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
 IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	RUSSELL M G N ET AL: "N-Arylsulfonylindole derivatives as serotonin 5 - HT6 receptor ligands" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 44, no. 23, 8 November 2001 (2001-11-08), pages 3881-3895, XP002234833 ISSN: 0022-2623 the whole document	1-23, 26-29
A	GB 2 341 549 A (MERCK SHARP & DOHME) 22 March 2000 (2000-03-22) the whole document	1-23, 26-29

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the International filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the International filing date but later than the priority date claimed

- "T" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

14 January 2004

Date of mailing of the international search report

30.01.2004

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/IN 03/00222

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	PATENT ABSTRACTS OF JAPAN vol. 2000, no. 04, 31 August 2000 (2000-08-31) & JP 2000 026471 A (NIPPON SODA CO LTD), 25 January 2000 (2000-01-25) abstract ---	1-23, 26-29
A	WO 00 34242 A (GLENNON RICHARD A ;ROTH BRYAN L (US); UNIV VIRGINIA COMMONWEALTH () 15 June 2000 (2000-06-15) the whole document ---	1-23, 26-29
X	US 3 481 953 A (HERBST DAVID R) 2 December 1969 (1969-12-02) claim 1; example 8 -----	24,25

INTERNATIONAL SEARCH REPORT

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:

2. Claims Nos.: 1 (partly)
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210

3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.
 No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1-23,26-29

Tetracyclic compounds, their preparation and use.

2. Claims: 24,25

Intermediates and their preparation.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1 (partly)

Present claim 1 relates to an compounds of the general formula (I) and its derivatives and analogs. The terms derivatives and analogs have no general accepted meaning in the field of chemistry and therefore a lack of clarity within the meaning of Article 6 PCT arises. The lack of clarity rendered a meaningful search of the whole claim impossible. Consequently, the search has been carried out for the compounds falling within the generic formula (I).

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/IN 03/00222

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
GB 2341549	A	22-03-2000	US	6187805 B1		13-02-2001
JP 2000026471	A	25-01-2000	NONE			
WO 0034242	A	15-06-2000	AU	767009 B2		30-10-2003
			AU	2356200 A		26-06-2000
			CA	2353962 A1		15-06-2000
			EP	1149078 A1		31-10-2001
			US	2002103382 A1		01-08-2002
			US	2002103383 A1		01-08-2002
			WO	0034242 A1		15-06-2000
US 3481953	A	02-12-1969	US	3487091 A		30-12-1969
			US	3489429 A		13-01-1970
			US	3489770 A		13-01-1970
			US	3494920 A		10-02-1970